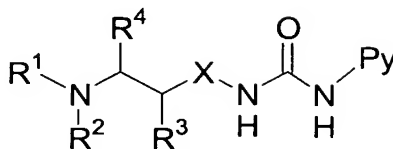


**CLAIMS**

1. Compounds of the general formula 1,



**General Formula 1**

5 wherein:

Py represents quinolin-4-yl which is unsubstituted or mono- or disubstituted independently with lower alkyl or aryl-lower alkyl in the positions 2, 6 or 8; [1,8]naphthyridin-4-yl which is unsubstituted or monosubstituted in position 7 with lower alkyl; pyridin-4-yl which is unsubstituted or disubstituted in positions 2 and 6, whereby the substituent in position 2 is R<sup>5</sup>R<sup>6</sup>N-, lower alkyl, aryl-lower alkyl, or (*E*)-2-aryl-ethen-1-yl and the substituent in position 6 is hydrogen or lower alkyl;

X is absent or represents a methylene group;

15 R<sup>1</sup> represents hydrogen; lower alkyl; aryl; aryl-lower alkyl; lower alkyl disubstituted with aryl; or lower alkyl disubstituted with aryl and additionally substituted at a carbon atom bearing an aryl group with OH, CN, or CONR<sup>7</sup>R<sup>8</sup>;

20 R<sup>2</sup> forms together with R<sup>3</sup> a five-, six-, or seven-membered ring containing the nitrogen atom to which R<sup>2</sup> is attached as a ring atom and in which case R<sup>4</sup> represents hydrogen; or

R<sup>2</sup> forms together with R<sup>4</sup> a five-, six-, or seven-membered ring containing the nitrogen atom to which R<sup>2</sup> is attached as a ring atom and in which case R<sup>3</sup> represents hydrogen;

25 the rings formed between R<sup>2</sup> and R<sup>3</sup> or between R<sup>2</sup> and R<sup>4</sup> are unsubstituted or monosubstituted with lower alkyl, aryl, aryl-lower alkyl, hydroxy, or aryloxy;

R<sup>5</sup> and R<sup>6</sup> independently represent hydrogen; lower alkyl; aryl; aryl-lower alkyl; or form together with the nitrogen atom to which they are attached a pyrrolidine, piperidine, or morpholine ring;

5 R<sup>7</sup> and R<sup>8</sup> independently represent hydrogen; lower alkyl; aryl; aryl-lower alkyl; or form together with the nitrogen atom to which they are attached a pyrrolidine, piperidine, or morpholine ring;

and optically pure enantiomers or diastereomers, mixtures of enantiomers or diastereomers, diastereomeric racemates, and mixtures of diastereomeric racemates; as well as their pharmaceutically acceptable salts, solvent  
10 complexes, and morphological forms.

2. Compounds of general formula 1 are the compounds wherein R<sup>3</sup> forms together with R<sup>2</sup> an unsubstituted five-, six-, or seven-membered ring containing the nitrogen atom to which R<sup>2</sup> is attached as a ring atom, R<sup>4</sup> is hydrogen and Py, X, and R<sup>1</sup> have the meaning given in general formula 1  
15 above.

3. Compounds of general formula 1 are the compounds wherein R<sup>4</sup> forms together with R<sup>2</sup> an unsubstituted five-, six-, or seven-membered ring containing the nitrogen atom to which R<sup>2</sup> is attached as a ring atom, R<sup>3</sup> is hydrogen and Py, X, and R<sup>1</sup> have the meaning given in general formula 1  
20 above.

4. Compounds of general formula 1 are the compounds wherein Py represents quinolin-4-yl mono- or disubstituted independently with lower alkyl or aryl-lower alkyl in the positions 2 or 8, and R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, R<sup>4</sup>, and X have the meaning given in general formula 1 above.

25 5. Compounds of general formula 1 are the compounds wherein Py represents pyridin-4-yl substituted in position 2 with R<sup>5</sup>R<sup>6</sup>N-, wherein R<sup>5</sup> represents lower alkyl and R<sup>6</sup> represents aryl-lower alkyl, and R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, R<sup>4</sup>, and X have the meaning given in general formula 1 above.

6. Compounds of general formula 1 are the compounds wherein Py represents pyridin-4-yl substituted in position 2 with  $R^5R^6N$ -, wherein  $R^6$  represents hydrogen and  $R^1$ ,  $R^2$ ,  $R^3$ ,  $R^4$ ,  $R^5$ , and X have the meaning given in general formula 1 above.
- 5 7. Compounds of general formula 1 are the compounds wherein X is absent and  $R^1$ ,  $R^2$ ,  $R^3$ ,  $R^4$ , and Py have the meaning given in general formula 1 above.
8. Compounds of general formula 1 are the compounds wherein Py represents pyridin-4-yl disubstituted in position 2 and 6 with lower-alkyl, and  $R^1$ ,  $R^2$ ,  $R^3$ ,  $R^4$ , and X have the meaning given in general formula 1 above.
- 10 9. Compounds of general formula 1 are the compounds wherein Py represents pyridin-4-yl disubstituted in position 2 with aryl-lower alkyl and in position 6 with lower-alkyl, and  $R^1$ ,  $R^2$ ,  $R^3$ ,  $R^4$ , and X have the meaning given in general formula 1 above.
- 15 10. Compounds of general formula 1 are the compounds wherein  $R^1$  represents lower alkyl disubstituted with aryl and  $R^2$ ,  $R^3$ ,  $R^4$ , X, and Py have the meaning given in general formula 1 above.
11. Compounds of general formula 1 are the compounds wherein  $R^1$  represents lower alkyl disubstituted with aryl and additionally substituted at a carbon atom bearing an aryl group with OH, CN, or  $CONR^7R^8$ , and  $R^2$ ,  $R^3$ ,  $R^4$ ,  $R^7$ ,  $R^8$ ,  
20 X, and Py have the meaning given in general formula 1 above.
12. Compounds of general formula 1 are the compounds wherein X is absent,  $R^3$  forms together with  $R^2$  an unsubstituted five-, six-, or seven-membered ring containing the nitrogen atom to which  $R^2$  is attached as a ring atom,  $R^4$  is hydrogen, Py represents quinolin-4-yl mono- or disubstituted independently  
25 with lower alkyl or aryl-lower alkyl in the positions 2 or 8, and  $R^1$  has the meaning given in general formula 1 above.
13. Compounds of general formula 1 are the compounds wherein X is absent,  $R^3$  forms together with  $R^2$  an unsubstituted five-, six-, or seven-membered ring

containing the nitrogen atom to which  $R^2$  is attached as a ring atom,  $R^4$  is hydrogen, Py represents pyridin-4-yl substituted in position 2 with  $R^5R^6N$ -, wherein  $R^6$  represents aryl-lower alkyl and  $R^5$  represents lower alkyl, and  $R^1$  has the meaning given in general formula 1 above.

- 5      14. Compounds of general formula 1 are the compounds wherein X is absent,  $R^3$   
forms together with  $R^2$  an unsubstituted five-, six-, or seven-membered ring  
containing the nitrogen atom to which  $R^2$  is attached as a ring atom,  $R^4$  is  
hydrogen, Py represents pyridin-4-yl substituted in position 2 with  $R^5R^6N$ -,  
wherein  $R^6$  represents hydrogen, and  $R^1$ , and  $R^5$  have the meaning given in  
10      general formula 1 above.
- 15      15. Compounds of general formula 1 are the compounds wherein X is absent,  $R^3$   
forms together with  $R^2$  an unsubstituted five-, six-, or seven-membered ring  
containing the nitrogen atom to which  $R^2$  is attached as a ring atom,  $R^4$  is  
hydrogen, Py represents pyridin-4-yl disubstituted in position 2 and 6 with  
lower-alkyl, and  $R^1$  has the meaning given in general formula 1 above.
- 20      16. Compounds of general formula 1 are the compounds wherein X is absent,  $R^3$   
forms together with  $R^2$  an unsubstituted five-, six-, or seven-membered ring  
containing the nitrogen atom to which  $R^2$  is attached as a ring atom,  $R^4$  is  
hydrogen, Py represents pyridin-4-yl disubstituted in position 2 with aryl-lower  
alkyl and in position 6 with lower-alkyl, and  $R^1$  has the meaning given in  
general formula 1 above.
- 25      17. Compounds of general formula 1 are the compounds wherein X is absent,  $R^3$   
forms together with  $R^2$  an unsubstituted five-, six-, or seven-membered ring  
containing the nitrogen atom to which  $R^2$  is attached as a ring atom,  $R^4$  is  
hydrogen,  $R^1$  represents lower alkyl disubstituted with aryl, and Py has the  
meaning given in general formula 1 above.
18. Compounds of general formula 1 are the compounds wherein X is absent,  $R^3$   
forms together with  $R^2$  an unsubstituted five-membered ring containing the  
nitrogen atom to which  $R^2$  is attached as a ring atom,  $R^4$  is hydrogen, Py

represents quinolin-4-yl monosubstituted with lower alkyl or aryl-lower alkyl in the position 2 and R<sup>1</sup> has the meaning given in general formula 1 above.

19. Compounds of general formula 1 are the compounds wherein X is absent, R<sup>3</sup> forms together with R<sup>2</sup> an unsubstituted five-membered ring containing the nitrogen atom to which R<sup>2</sup> is attached as a ring atom, R<sup>4</sup> is hydrogen, Py represents pyridin-4-yl substituted in position 2 with R<sup>5</sup>R<sup>6</sup>N-, wherein R<sup>6</sup> represents hydrogen and R<sup>1</sup>, and R<sup>5</sup> have the meaning given in general formula 1 above.
20. Compounds of general formula 1 are the compounds wherein X is absent, R<sup>3</sup> forms together with R<sup>2</sup> an unsubstituted five-membered ring containing the nitrogen atom to which R<sup>2</sup> is attached as a ring atom, R<sup>4</sup> is hydrogen, Py represents pyridin-4-yl disubstituted in position 2 and 6 with lower-alkyl and R<sup>1</sup> has the meaning given in general formula 1 above.
21. Compounds of general formula 1 are the compounds wherein X is absent, R<sup>3</sup> forms together with R<sup>2</sup> an unsubstituted five-membered ring containing the nitrogen atom to which R<sup>2</sup> is attached as a ring atom, R<sup>4</sup> is hydrogen, R<sup>1</sup> represents lower alkyl disubstituted with aryl, and Py has the meaning given in general formula 1 above.
22. The compound according to any one of claims 1 to 21 that is selected from the group consisting of:

  - 1-(2-Methyl-quinolin-4-yl)-3-pyrrolidin-3-yl-urea;
  - 1-[1-(2,2-Diphenyl-ethyl)-pyrrolidin-3-yl]-3-(2-methyl-quinolin-4-yl)-urea;
  - 1-[1-(1-Benzyl-2-phenyl-ethyl)-pyrrolidin-3-yl]-3-(2-methyl-quinolin-4-yl)-urea;
  - 1-(2-Methyl-quinolin-4-yl)-3-(1-phenethyl-pyrrolidin-3-yl)-urea;
  - 1-(2-Methyl-quinolin-4-yl)-3-[1-(3-phenyl-propyl)-pyrrolidin-3-yl]-urea;
  - 1-(2-Methyl-quinolin-4-yl)-3-(1-naphthalen-1-ylmethyl-pyrrolidin-3-yl)-urea;
  - 1-(2-Methyl-quinolin-4-yl)-3-(1-naphthalen-2-ylmethyl-pyrrolidin-3-yl)-urea;

- 1-(1-Biphenyl-4-ylmethyl-pyrrolidin-3-yl)-3-(2-methyl-quinolin-4-yl)-urea;  
 1-(2-Methyl-quinolin-4-yl)-3-[1-(4-phenyl-cyclohexyl)-pyrrolidin-3-yl]-urea;  
 1-[(*R*)-1-(1-Methyl-2,2-diphenyl-ethyl)-pyrrolidin-3-yl]-3-(2-methyl-quinolin-4-yl)-urea;  
 5 1-[(*S*)-1-(1-Methyl-2,2-diphenyl-ethyl)-pyrrolidin-3-yl]-3-(2-methyl-quinolin-4-yl)-urea;  
 1-[1-(3,3-Diphenyl-propyl)-pyrrolidin-3-yl]-3-(2-methyl-quinolin-4-yl)-urea;  
 1-[1-(2,3-Diphenyl-propyl)-pyrrolidin-3-yl]-3-(2-methyl-quinolin-4-yl)-urea;  
 1-[1-(2-Hydroxy-2,2-diphenyl-ethyl)-pyrrolidin-3-yl]-3-(2-methyl-quinolin-4-yl)-  
 10 urea;  
 1-[1-(2,2-Diphenyl-ethyl)-piperidin-3-yl]-3-(2-methyl-quinolin-4-yl)-urea;  
 1-[1-(3,3-Diphenyl-propyl)-piperidin-3-yl]-3-(2-methyl-quinolin-4-yl)-urea;  
 1-[(*S*)-1-(1-Benzyl-2-phenyl-ethyl)-pyrrolidin-3-yl]-3-(2-methyl-quinolin-4-yl)-urea;  
 15 1-[(*R*)-1-(1-Benzyl-2-phenyl-ethyl)-pyrrolidin-3-yl]-3-(2-methyl-quinolin-4-yl)-urea;  
 1-[(*S*)-1-(3,3-Diphenyl-propyl)-pyrrolidin-3-yl]-3-(2-methyl-quinolin-4-yl)-urea;  
 1-[(*R*)-1-(3,3-Diphenyl-propyl)-pyrrolidin-3-yl]-3-(2-methyl-quinolin-4-yl)-urea;  
 (*R*)-1-(1-Benzyl-pyrrolidin-3-yl)-3-(2-methyl-quinolin-4-yl)-urea;  
 20 (*S*)-1-(1-Benzyl-pyrrolidin-3-yl)-3-(2-methyl-quinolin-4-yl)-urea;  
 1-(1-Benzyl-pyrrolidin-3-yl)-3-(2-methyl-quinolin-4-yl)-urea;  
 1-[(*S*)-1-(2-Hydroxy-2,2-diphenyl-ethyl)-pyrrolidin-3-yl]-3-(2-methyl-quinolin-4-yl)-urea;  
 1-[(*R*)-1-(2-Hydroxy-2,2-diphenyl-ethyl)-pyrrolidin-3-yl]-3-(2-methyl-quinolin-4-yl)-urea;  
 25 1-[(*S*)-1-(1-Benzyl-2-phenyl-ethyl)-pyrrolidin-2-ylmethyl]-3-(2-methyl-quinolin-4-yl)-urea;

1-[(*R*)-1-(1-Benzyl-2-phenyl-ethyl)-pyrrolidin-2-ylmethyl]-3-(2-methyl-quinolin-4-yl)-urea;

*N,N*-Diethyl-4-[(*S*)-3-[3-(2-methyl-quinolin-4-yl)-ureido]-pyrrolidin-1-yl]-2,2-diphenyl-butyramide;

5 *N,N*-Diethyl-4-[(*R*)-3-[3-(2-methyl-quinolin-4-yl)-ureido]-pyrrolidin-1-yl]-2,2-diphenyl-butyramide;

*N,N*-Dimethyl-4-[(*S*)-3-[3-(2-methyl-quinolin-4-yl)-ureido]-pyrrolidin-1-yl]-2,2-diphenyl-butyramide;

10 *N,N*-Dimethyl-4-[(*R*)-3-[3-(2-methyl-quinolin-4-yl)-ureido]-pyrrolidin-1-yl]-2,2-diphenyl-butyramide;

1-(1-Biphenyl-3-ylmethyl-pyrrolidin-3-yl)-3-(2-methyl-quinolin-4-yl)-urea;

1-[(*S*)-1-Biphenyl-2-ylmethyl-pyrrolidin-3-yl]-3-(2-methyl-quinolin-4-yl)-urea;

1-[(*S*)-1-(3-Cyano-3,3-diphenyl-propyl)-pyrrolidin-3-yl]-3-(2-methyl-quinolin-4-yl)-urea;

15 1-[(*R*)-1-(3-Cyano-3,3-diphenyl-propyl)-pyrrolidin-3-yl]-3-(2-methyl-quinolin-4-yl)-urea;

1-[(*S*)-1-(1-Benzyl-2-phenyl-ethyl)-pyrrolidin-3-yl]-3-(2,6-dimethyl-pyridin-4-yl)-urea;

20 1-[(*R*)-1-(1-Benzyl-2-phenyl-ethyl)-pyrrolidin-3-yl]-3-(2,6-dimethyl-pyridin-4-yl)-urea;

1-(2,6-Dimethyl-pyridin-4-yl)-3-[(*S*)-1-(2,2-diphenyl-ethyl)-pyrrolidin-3-yl]-urea;

1-(2,6-Dimethyl-pyridin-4-yl)-3-[(*S*)-1-(2-hydroxy-2,2-diphenyl-ethyl)-pyrrolidin-3-yl]-urea;

25 1-(2,6-Dimethyl-pyridin-4-yl)-3-[(*R*)-1-(2-hydroxy-2,2-diphenyl-ethyl)-pyrrolidin-3-yl]-urea;

1-(2,6-Dimethyl-pyridin-4-yl)-3-[(*S*)-1-(3,3-diphenyl-propyl)-pyrrolidin-3-yl]-urea;

- 1-(2,6-Dimethyl-pyridin-4-yl)-3-[(*R*)-1-(3,3-diphenyl-propyl)-pyrrolidin-3-yl]-urea;
- 1-[(*S*)-1-(1-Benzyl-2-phenyl-ethyl)-pyrrolidin-3-yl]-3-(2-ethyl-6-methyl-pyridin-4-yl)-urea;
- 5 1-[(*S*)-1-(2,2-Diphenyl-ethyl)-pyrrolidin-3-yl]-3-(2-ethyl-6-methyl-pyridin-4-yl)-urea;
- 1-[(*S*)-1-(3,3-Diphenyl-propyl)-pyrrolidin-3-yl]-3-(2-ethyl-6-methyl-pyridin-4-yl)-urea;
- 10 1-[(*S*)-1-(3,3-Diphenyl-propyl)-pyrrolidin-3-yl]-3-[2-methyl-6-((*E*)-styryl)-pyridin-4-yl]-urea;
- 1-[(*S*)-1-(2,2-Diphenyl-ethyl)-pyrrolidin-3-yl]-3-{2-[(*E*)-2-(4-fluoro-phenyl)-vinyl]-6-methyl-pyridin-4-yl}-urea;
- 1-[(*S*)-1-(2,2-Diphenyl-ethyl)-pyrrolidin-3-yl]-3-(2-methyl-6-phenethyl-pyridin-4-yl)-urea;
- 15 1-[(*S*)-1-(1-Benzyl-2-phenyl-ethyl)-pyrrolidin-3-yl]-3-(2-methyl-6-propyl-pyridin-4-yl)-urea;
- 1-[(*S*)-1-(2,2-Diphenyl-ethyl)-pyrrolidin-3-yl]-3-(2-methyl-6-propyl-pyridin-4-yl)-urea;
- 20 1-[(*S*)-1-(3,3-Diphenyl-propyl)-pyrrolidin-3-yl]-3-(2-methyl-6-propyl-pyridin-4-yl)-urea;
- 1-[2-(Benzyl-methyl-amino)-pyridin-4-yl]-3-[(*S*)-1-(2,2-diphenyl-ethyl)-pyrrolidin-3-yl]-urea;
- 1-[(*S*)-1-(3,3-Diphenyl-propyl)-pyrrolidin-3-yl]-3-(2-methyl-6-phenethyl-pyridin-4-yl)-urea;
- 25 1-[(*S*)-1-(2,2-Diphenyl-ethyl)-pyrrolidin-3-yl]-3-{2-[2-(4-fluoro-phenyl)-ethyl]-6-methyl-pyridin-4-yl}-urea;
- 1-[(*S*)-1-(2,2-Diphenyl-ethyl)-pyrrolidin-3-yl]-3-(2-methylamino-pyridin-4-yl)-urea;



1-[(S)-1-(2,2-Diphenyl-ethyl)-pyrrolidin-3-yl]-3-(2-propylamino-pyridin-4-yl)-urea;

1-(2-Cyclopentylamino-pyridin-4-yl)-3-[(S)-1-(2,2-diphenyl-ethyl)-pyrrolidin-3-yl]-urea;

5 1-(2-Benzylamino-pyridin-4-yl)-3-[(S)-1-(2,2-diphenyl-ethyl)-pyrrolidin-3-yl]-urea.

23. Pharmaceutical compositions containing a compound of any one of claims 1 to 22 and usual carrier materials and adjuvants for the treatment of disorders which are associated with a dysregulation of urotensin II or urotensin II  
 10 receptors, especially disorders associated with vascular or myocardial dysfunction, comprising hypertension, atherosclerosis, angina or myocardial ischemia, congestive heart failure, cardiac insufficiency, cardiac arrhythmias, renal ischemia, chronic kidney disease, renal failure, stroke, cerebral vasospasm, cerebral ischemia, dementia, migraine, subarachnoidal  
 15 hemorrhage, diabetes, diabetic arteriopathy, diabetic nephropathy, connective tissue diseases, cirrhosis, asthma, chronic obstructive pulmonary disease, high-altitude pulmonary edema, Raynaud's syndrome, portal hypertension, thyroid dysfunction, pulmonary edema, pulmonary hypertension, or pulmonary fibrosis.

20 24. Pharmaceutical compositions containing a compound of any one of claims 1 to 22 and usual carrier materials and adjuvants for the treatment of disorders comprising prevention of restenosis after balloon or stent angioplasty, cancer, prostatic hypertrophy, erectile dysfunction, hearing loss, amaurosis, chronic bronchitis, asthma, gram negative septicemia, shock, sickle cell anemia,  
 25 glomerulonephritis, renal colic, glaucoma, therapy and prophylaxis of diabetic complications, complications of vascular or cardiac surgery or after organ transplantation, complications of cyclosporin treatment, pain, addictions, schizophrenia, Alzheimer's disease, anxiety, obsessive-compulsive behavior, epileptic seizures, stress, depression, dementias, neuromuscular disorders,  
 30 neurodegenerative diseases.

25. The use of one or more compounds of any one of claims 1 to 22 in combination with other pharmacologically active compounds for the treatment of disorders comprising hypertension, atherosclerosis, angina or myocardial ischemia, congestive heart failure, cardiac insufficiency, cardiac arrhythmias, renal ischemia, chronic kidney disease, renal failure, stroke, cerebral vasospasm, cerebral ischemia, dementia, migraine, subarachnoidal hemorrhage, diabetes, diabetic arteriopathy, diabetic nephropathy, connective tissue diseases, cirrhosis, asthma, chronic obstructive pulmonary disease, high-altitude pulmonary edema, Raynaud's syndrome, portal hypertension, thyroid dysfunction, pulmonary edema, pulmonary hypertension, or pulmonary fibrosis, restenosis after balloon or stent angioplasty, cancer, prostatic hypertrophy, erectile dysfunction, hearing loss, amaurosis, chronic bronchitis, asthma, gram negative septicemia, shock, sickle cell anemia, glomerulonephritis, renal colic, glaucoma, therapy and prophylaxis of diabetic complications, complications of vascular or cardiac surgery or after organ transplantation, complications of cyclosporin treatment, pain, addiction, schizophrenia, Alzheimer's disease, anxiety, obsessive-compulsive behavior, seizures, stress, depression.
26. The use of one or more compounds of any one of claims 1 to 22 in combination with other pharmacologically active compounds comprising ACE inhibitors, angiotensin II receptor antagonists, endothelin receptor antagonists, vasopressin antagonists, beta-adrenergic antagonists, alpha-adrenergic antagonists, vasopressin antagonists, TNFalpha antagonists, or peroxisome proliferator activator receptor modulators for the treatment of disorders given in any one of claims 23 to 25.
27. The method of treating a patient suffering from a disorder given in any one of claims 23 to 25 by administering a pharmaceutical composition according to any one of claims 23-24.